(19) World Intellectual Property Organization International Bureau



(43) International Publication Date 27 November 2003 (27.11.2003)

PCT

(10) International Publication Number WO 2003/097658 A3

(51) International Patent Classification7: C07H 15/252 A61K 31/70, A61P 37/00

(21) International Application Number: PCT/US2003/015286

(22) International Filing Date: 16 May 2003 (16.05.2003)

(25) Filing Language:

English (26) Publication Language: English

(30) Priority Data:

60/381.214 16 May 2002 (16.05.2002) US

(71) Applicant (for all designated States except US): GLY-COMIMETICS, INC. [US/US]; 14915 Broschart Road. Suite 200, Rockville, MD 20850 (US).

(71) Applicant and

(72) Inventor: MAGNANI, John, L. [US/US]; 325 West Side Drive, Apt. 101, Gaithersburg, MD 20878 (US).

(72) Inventors: and

(75) Inventors/Applicants (for US only): PATTON, John, T. [US/US]; 18932 Marsh Hawk Lane, Gaithersburg, MD 20879 (US). WILLIAMS, Leonard, M. [US/US]: 4316 Calvert Road, Apt. 5, College Park, MD 20740 (US).

(74) Agents: SHARKEY, Richard, G. et al.: Seed Intellectual Property Law Group PLLC, Suite 6300, 701 Fifth Avenue. Seattle, WA 98104-7092 (US).

(81) Designated States (national): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FL GB, GD, GE, GH. GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC. LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW. MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(84) Designated States (regional): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

with international search report

[Continued on next page]

(54) Title: COMPOUNDS AND METHODS FOR INHIBITING SELECTIN-MEDIATED FUNCTION

(57) Abstract: Compounds and methods are provided for modulating in vitro and in vivo processes mediated by selectin binding. More specifically, selectin modulators and their use are described, wherein the selectin modulators that modulate (e.g., inhibit or enhance) a selectin-mediated function comprise a class of compounds termed BASAs (Benzyl Amino Sulfonic Acids, which include a portion or analogue thereof) linked to a carbohydrate or glycomimetic.

WO 2003/097658 A3

(88) Date of publication of the international search report: 1 April 2004

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

INTERNATIONAL SEARCH REPORT

Internat Application No PCT/u. J3/15286

Relevant to claim No.

A. CLASSIFICATION OF SUBJECT MATTER
IPC 7 C07H15/252 A61K31/70

A61P37/00

According to International Patent Classification (IPC) or to both national classification and IPC B. FIELDS SEARCHED

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Minimum documentation searched (classification system followed by classification symbols) IPC 7 CO7H A61K A61P

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

WPI Data, PAJ, EPO-Internal, CHEM ABS Data, MEDLINE

Category * Citation of document, with indication, where appropriate, of the relevant passages

| A | WO 96 26950 A (PHARMACIA SPA; NICOLA (IT); BIASOLI GIOVANNI LOMBAR) 6 September 1996 (1996 the whole document, but espect 10 | 1-5 | | |
|---|--|--|---------------|--|
| A | WO 97 28174 A (CIBA GEIGY AG; REINHOLD (DE)) 7 August 1997 (table 1; claim 1 & US 6 187 754 A 13 February 2001 (2001-02-13) cited in the application | 1–5 | | |
| A | WO 97 28173 A (CIBA GEIGY AG : REINHOLD (DE)) 7 August 1997 (& US 6 169 077 A 2 January 2001 (2001-01-02) cited in the application | 1-5 | | |
| Y Y Funt | her documents are listed in the continuation of box C: | <u> </u> | | |
| | | χ··· " Patent family members are listed | In annex: · · | |
| Special categories o loted documents: **O fearment desting the general state of the an which is not considered to be of particular relevance **C** carrier document but published not on after the international fling date. **In gradual state of the s | | The ster document published after the international filing date of priority data and not contact with the application but of priority data and not contact with the application but investion. The contact and the principle or thosely underlying the investion cannot be considered even for a cannot be considered even for each become of the contact investion of comments of prediction retrievance. The claimed investion in the contact investigation of the contact investigation | | |
| Date of the | actual completion of the international search | Date of mailing of the international sea | erch report | |
| 1. | 4 October 2003 | 03/11/2003 | | |
| Name and n | nalling address of the ISA European Patent Cifice, P.B. 5818 Patenthaan 2 NL - 2260 HV Rijswijk Tet (-31-70) 340-2040, Tx. 31 651 epo nl, Fax (-431-70) 340-3016 | Authorized officer Scott J | | |

INTERNATIONAL SEARCH REPORT

Form PCT/tSA/210 (continuation of second sheet) (July 1992)

Interna pplication No

PCT/US 03/15286 C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT Category * Citation of document, with indication, where appropriate, of the relevant passages Relevant to claim No. Α EP 0 671 407 A (SQUIBB BRISTOL MYERS CO) 1-5 13 September 1995 (1995-09-13) the whole document, but especially examples 8,12,13,14,15,17,20,23 R.BÄNTELI ET AL.: "Potent E-Selectin 1-5 Antagonists." HELVETICA CHIMICA ACTA. vol. 83, 2000, pages 2893-2907, XP002257742 cited in the application page 2894, scheme 1; page 2897, table G.THOMA ET AL.: "A Readily Available, 1 - 5Highly Potent E-Selectin Antagonist." ANGEWANDTE CHEM. INT. ED., vol. 40, no. 19, 2001, pages 3644-3647. XP002257743 cited in the application the whole document

INTERNATIONAL SEARCH REPORT

ingeneration on patent tamily members

Interna iplication No ...
PCT/US 03/15286

| | | | FC1/US U3/15286 | | |
|---|------------------|-----|----------------------------|---------------------|-----|
| Patent document cited in search report | Publication date | | Patent family member(s) | Publication date | |
| WO 9626950 A | 06-09-1996 | AU | 696470 B2 | 10-09-1998 | |
| | | AU | 4869896 A | 18-09-1996 | |
| | | CA | 2189358 A1 | 06-09-1996 | |
| | | CN | 1148391 A | 23-04-1997 | |
| | | ĒΑ | 960104 A1 | 30-09-1997 | |
| | | WO- | 9626950 A1 | 06-09-1996 | |
| | | EP | 0758339 A1 | 19-02-1997 | |
| | | FΙ | 964331 A | 01-11-1996 | |
| | | HU | 9603305 A2 | 28-08-1997 | |
| | | JP | 10504319 T | 28-04-1998 | |
| | | NO. | 964610 A | 31-10-1996 | 4.7 |
| | | NZ | 302267 A | 24-10-1997 | |
| | | PL | 317094 A1 | 17-03-1997 | |
| | | ZA | 9601636 A | 06-09-1996 | |
| WO 9728174 A | 07-08-1997 | AU | 1444697 A | 22-08-1997 | |
| | | WO | 9728174 A1 | 07-08-1997 | |
| | | EP | 0886639 A1 | 30-12-1998 | |
| | | US | 6187754 B1 | 13-02-2001 | |
| WO 9728173 A | 07-08-1997 | AU | 1542397 A | 22-08-1997 | |
| | | WO | 9728173 A1 | 07-08-1997 | |
| | | EP | 0886640 A1 | 30-12-1998 | |
| | | US | 6169077 B1 | 02-01-2001 | |
| EP 0671407 A | 13-09-1995 | AU | 1360595 A | 14-09-1995 | |
| | | CA | 2142154 A1 | 05-09-1995 | |
| | | CN | 1112122 A | 22-11-1995 | |
| | | CZ | 9500498 A3 | 13-12-1995 | |
| | | EΡ | 0671407 A2 | 13-09-1995 | |
| | | FI | 951001 A | 05-09-1995 | |
| • | | HU | 70404 A2 | 30-10-1995 | |
| | | JP | 8041093 A | 13 - 02-1996 | |
| | | NO | 950763 A | 05-09-1995 | |
| | | PL | 307524 A1 | 18-09-1995 | |
| • | | US | 5663151 A | 02-09-1997 | |
| | | ZA | 9500937 A | 06-08-1996 | |